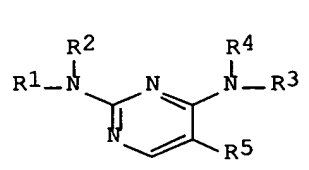
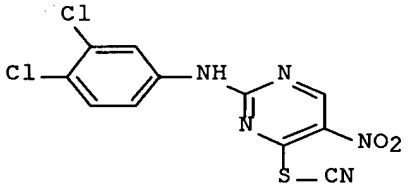
L7 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:319721 CAPLUS
DN 138:321292
TI Preparation of 2,4,5-trisubstituted pyrimidines as cyclin dependent Kinase inhibitors
IN Dahmann, Georg; Himmelsbach, Frank; Wittneben, Helmut; Pautsch, Alexander; Prokopowicz, Anthony S.; Krist, Bernd; Schnapp, Gisela; Steegmaier, Martin; Lenter, Martin; Schoop, Andreas; Steurer, Steffen; Spevak, Walter
PA Boehringer Ingelheim Pharma K.-G., Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim International G.m.b.H.
SO PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003032997	A1	20030424	WO 2002-EP11453	20021014
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003171359	A1	20030911	US 2002-271763	20021016
PRAI US 2001-330145P	P	20011017		

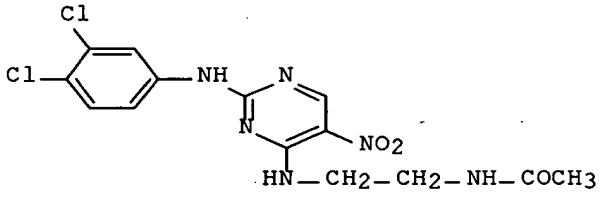
OS MARPAT 138:321292
GI



I



II



III

AB Title compds. I [R1 = H, alkyl; R2 = (un)substituted alkyl; R3 = H, alkyl; R4 = (un)substituted alkyl; R5 = halo] and their pharmaceutically acceptable salts were prepd. For example, condensation of thiocyanatopyrimide II, e.g., prepd. from 3,4-dichloroaniline and 2-chloro-4-thiocyanato-5-nitropyrimidine in one step, and acetylaminoethylamine provided trisubstituted pyrimidine III in 88%

yield.

In CDK1/CyclinB1 kinase inhibition studies, 88-examples of compds. I exhibited IC50 values more than 100 nM. Compds. I are claimed useful for

the treatment of diseases characterized by abnormal cell proliferation.

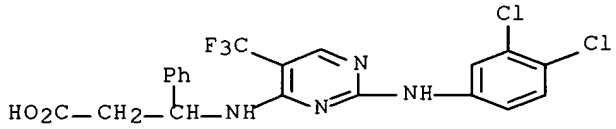
IT **514833-97-7P**, 2-(3,4-Dichlorophenylamino)-4-((2-carboxy-1-phenylethyl)amino)-5-trifluoromethylpyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of trisubstituted pyrimidines as cyclin dependent kinase inhibitors)

RN 514833-97-7 CAPLUS

CN Benzenepropanoic acid, .beta.-[[2-[(3,4-dichlorophenyl)amino]-5-(trifluoromethyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

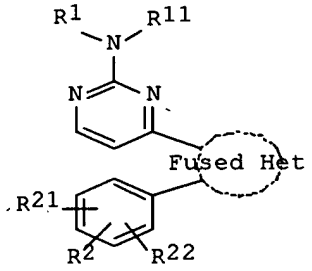
L7 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:5951 CAPLUS
DN 138:73265
TI Preparation of (pyrimidyl)(phenyl)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compounds with therapeutic uses
IN Biftu, Tesfaye; Colletti, Steven L.; Mcintyre, Charles J.; Schmatz, Dennis M.; Feng, Dennis D.; Doherty, James B.; Liang, Gui-Bai; Liverton, Nigel J.; Beresis, Richard; Berger, Richard; Claremon, David A.; Kovacs, Ernest W.; Qian, Xiaoxia
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 280 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003000682	A1	20030103	WO 2002-US19507	20020621

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-300748P P 20010625
OS MARPAT 138:73265
GI



I

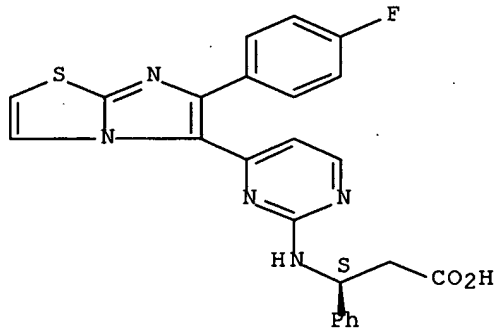
AB (pyrimidyl)(phenyl)substituted fused heteroaryl compds. (shown as I; variables define below; e.g. (2-(4-fluorophenyl)-3-(2-[((S)-1-phenylethyl)amino]pyrimidin-4-yl)imidazo[1,2-a]pyridin-7-yl)methanol) and pharmaceutically acceptable salts thereof are useful in the treatment of cytokine mediated diseases such as arthritis and in the treatment and/or prevention of protozoal diseases such as coccidiosis. I suppress TNF-.alpha. in monocytes and also IL-1.beta., IL-6 and PGE2 prodn. with IC50 <5 .mu.M. The 'Fused Het' in I may be optionally substituted radicals derived from imidazo[1,2-a]pyridine, imidazo[1,2-a]pyrimidine, imidazo[2,1-b]thiazole, benzimidazole, etc. R1 is H, -C1-6alkyl, -C(O)(C1-6alkyl), -C(O)-C1-6-alkylaryl, -C0-4alkylaryl, -C0-4alkylindanyl, -C0-4alkylimidazolyl, -C0-4alkylthiazolyl, -C0-4alkylpyrazolyl,

-C0-4alkyloxadiazolyl, -C0-4-alkyl-C3-6-cycloalkyl, -C0-4alkyl-C1-4-alkoxy, -C1-4-alkyl-N(C0-4-alkyl)(-C0-4-alkyl), -C1-4-alkyl-N(-C0-4alkyl)-CO-C1-4-alkoxy, -C1-4-alkylpiperidinyl, -C0-4alkyltriazolyl, -C1-4-alkylimidazothiazolyl, -C1-4-alkylbenzimidazolyl, -C1-4-alkylbenzothiazolyl, -C1-4-alkylbenzotetrahydrofuranyl, -C1-4-alkylbenzodioxolyl, -C1-4-alkyl-(heterocycloC402alkyl), -C1-4-alkyl-(heterocycloC501alkyl), -C1-4-alkyltetrahydrofuran, or -C1-4-alkyloxetanyl; R11 is H or -C1-6-alkyl; or R1 and R11, together with the N to which they are attached, form a morpholinyl; R2, R21, R22 each independently is H, halogen, or -C1-4alkyl;. Although the methods of prepn. are not claimed, many example prepns. are included.

IT **480454-44-2P**, 6-(4-Fluorophenyl)-5-(2-(((S)-2-carboxy-1-phenylethyl)amino)pyrimidin-4-yl)imidazo[2,1-b]thiazole
480454-45-3P, 6-(4-Fluorophenyl)-5-(2-(((R)-2-carboxy-1-phenylethyl)amino)pyrimidin-4-yl)imidazo[2,1-b]thiazole
480454-46-4P, 6-(4-Fluorophenyl)-5-(2-(((1S,2S)-2-carboxy-2-hydroxy-1-phenylethyl)amino)pyrimidin-4-yl)imidazo[2,1-b]thiazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of (pyrimidyl)(phenyl)substituted fused heteroaryl p38 inhibiting and cGMP-dependent protein kinase inhibiting compds. with therapeutic uses)

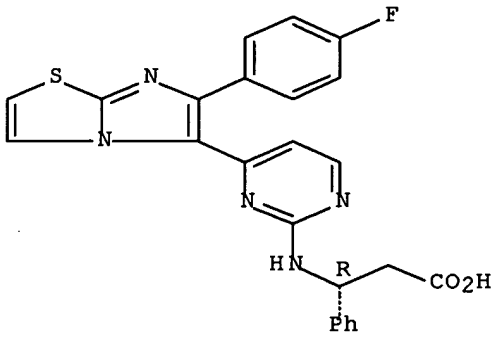
RN 480454-44-2 CAPLUS
CN Benzenepropanoic acid, .beta.-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



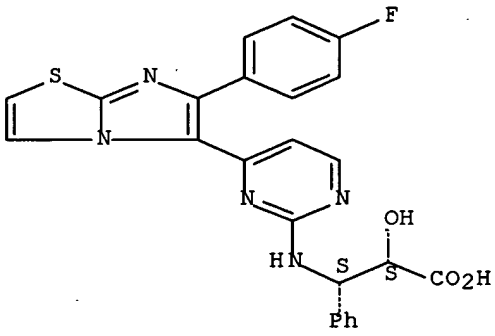
RN 480454-45-3 CAPLUS
CN Benzenepropanoic acid, .beta.-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-, (.beta.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 480454-46-4 CAPLUS
CN Benzenepropanoic acid, .beta.-[[4-[6-(4-fluorophenyl)imidazo[2,1-b]thiazol-5-yl]-2-pyrimidinyl]amino]-.alpha.-hydroxy-, (.alpha.S,.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

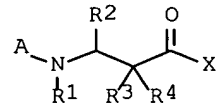


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:90021 CAPLUS
DN 136:135017
TI Prepn. of beta-amino acid derivatives as inhibitors of leukocyte adhesion mediated by VLA-4
IN Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Welmaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Grant, Francine S.; Dressen, Darren B.; Semko, Christopher; Xu, Ying-Zi; Stappenbeck, Frank
PA Elan Pharmaceuticals, Inc., USA; American Home Products Corporation
SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002008201	A2	20020131	WO 2001-US23071	20010720
WO 2002008201	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002058664	A1	20020516	US 2001-909838	20010720
PRAI US 2000-220118P	P	20000721		

OS MARPAT 136:135017
GI



I

AB Beta-amino acid derivs. I [R1 = H, (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic; R3 and R4 = H, halogen, alkyl, substituted alkyl, alkenyl, alkynyl, alkoxy, haloalkoxy, alkylthio, alkylamino, alkylcyano, etc.; X = OH, (un)substituted alkoxy, alkenoxy, cycloalkoxy, cycloalkenoxy, aryloxy, heteroaryloxy, heterocyclyloxy, amino, etc.; A = (un)substituted aryl, heteroaryl, cycloalkyl, or heterocyclic group; R2 = acylamino, acyloxy, (un)substituted acyl(hetero)aryl, aminoacyl(hetero)aryl, aminocarbonylamino(hetero)aryl, etc.] were prepd. as as inhibitors of leukocyte adhesion mediated by VLA-4. Compds. I have IC50 of 15 .mu.M or less in assay for detg. binding to VLA-4. Thus, (R)-3-[(5-(2-fluorophenyl)-2-(N-cyclohexyl-N-methylamino]-pyrimidin-4-ylamino)-3-(4-(dimethylaminocarbonyl)oxyphenyl)propanoic acid was prepd. from p-hydroxycinnamate and (S)-(-)-benzyl-.alpha.-methylbenzylamine by multistep procedure via coupling of (R)-3-amino-3-(4-tert-butyldimethylsiloxy)phenyl)-propanoic acid Et ester with 2,4-dichloro-5-bromopyrimidine.

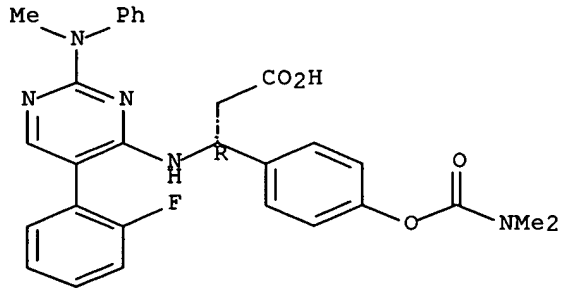
IT **392662-81-6P 392662-83-8P 392662-84-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(prepn. of beta-amino acid derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 392662-81-6 CAPLUS

CN Benzenepropanoic acid, 4-[[(dimethylamino)carbonyl]oxy]-.beta.-[[5-(2-fluorophenyl)-2-(methylphenylamino)-4-pyrimidinyl]amino]-, (.beta.R)-(9CI) (CA INDEX NAME)

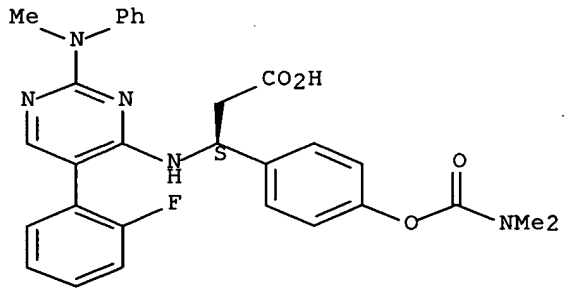
Absolute stereochemistry.



RN 392662-83-8 CAPLUS

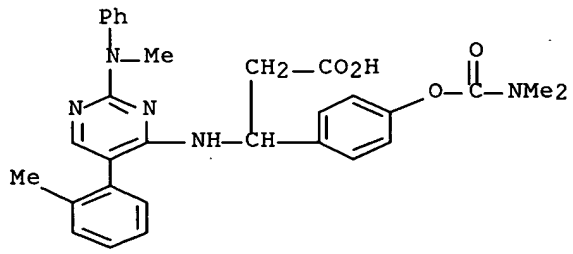
CN Benzenepropanoic acid, 4-[[(dimethylamino)carbonyl]oxy]-.beta.-[[5-(2-fluorophenyl)-2-(methylphenylamino)-4-pyrimidinyl]amino]-, (.beta.S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 392662-84-9 CAPLUS

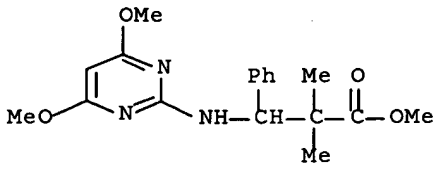
CN Benzenepropanoic acid, 4-[[(dimethylamino)carbonyl]oxy]-.beta.-[[5-(2-methylphenyl)-2-(methylphenylamino)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



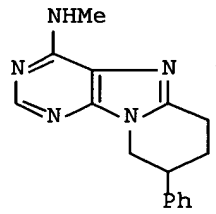
L7 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:516458 CAPLUS
DN 125:168644
TI Derivatives of beta-aminopropionic acid with a fungicidal activity
IN Camaggi, Giovanni; Filippini, Lucio; Gusmeroli, Marilena; Mormile, Silvia; Signorini, Ernesto; Garavaglia, Carlo
PA Isagro Ricerca S.r.l., Italy
SO Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 718280	A2	19960626	EP 1995-115777	19951006
	EP 718280	A3	19961030		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	EP 843967	A1	19980527	EP 1998-100374	19951006
	EP 843967	B1	20000405		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI				
	AT 191317	E	20000415	AT 1998-100374	19951006
	ES 2144885	T3	20000616	ES 1998-100374	19951006
	AU 9533147	A1	19960502	AU 1995-33147	19951010
	AU 707241	B2	19990708		
	JP 08245541	A2	19960924	JP 1995-299254	19951023
	US 5856311	A	19990105	US 1995-553782	19951023
PRAI	IT 1994-MI2156	A	19941021		
	EP 1995-115777	A3	19951006		

OS MARPAT 125:168644
AB .beta.-Aminopropionic acids RaK1W(O)ZCR3ArCR1R2Z [W = C, SOm (m = 0-2), P(O)OR (R = C1-8 alkyl, haloalkyl); Ar = Ph, naphthyl, heteroaryl, C3-10 cycloalkyl; Q = -CN, thiazolyl, C(O)YK2Rb (Y = O, NR4, AA amino acid residue); Z = NR5, AA amino acid residue; Ra, Rb = H, C1-8 alkyl, haloalkyl, C4-10 cycloalkylalkyl, Ph, naphthyl, heterocyclyl, C3-10 cycloalkyl, K1, K2 = direct bond, C1-8 alkylenic or haloalkylenic chain; K1 = O, C2-8 oxaalkylenic chain, NR2 (R2 is similar to Ra); K2 = C2-8 oxaalkylenic chain; R1, R2, R3, R4, R5 = H, C1-8 alkyl, haloalkyl; R1, R2 = F] were prepd. as antifungal agents for agricultural purposes. E.g., 100 g PhCHO, 94 g malonic acid, and 109 g NH4OAc was refluxed in EtOH 8 h under N2 to give 58 % 3-phenyl-3-aminopropanoic acid. At a concn. of 2000 pm, the tested compds. showed >90% control of vine mildew (Plasmopara viticola) and cucumber mildew (Sphaerotheca fuliginea).
IT **180264-30-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and fungicidal activity of .beta.-aminopropionic acid derivs.)
RN 180264-30-6 CAPLUS
CN Benzenepropanoic acid, .beta.-[(4,6-dimethoxy-2-pyrimidinyl)amino]-.alpha.,.alpha.-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

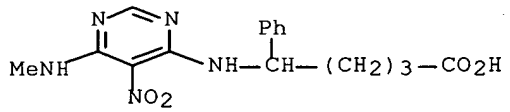

OMe
N
Ph
Me
O
MeO
N
NH
CH
C
C
OMe
Me

L7 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:637521 CAPLUS
DN 123:198721
TI Synthesis of a conformationally constrained analog of BW A78U, an anticonvulsant adenine derivative
AU Desaubry, Laurent; Wermuth, Camille Georges; Bourguignon, Jean-Jacques
CS Lab. Pharmacochim. Mol., CNRS, Strasbourg, 67084, Fr.
SO Tetrahedron Letters (1995), 36(24), 4249-52
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
OS CASREACT 123:198721
GI

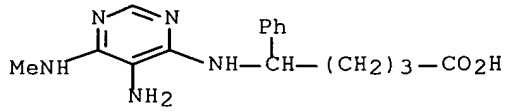


I

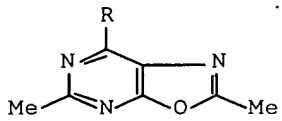
AB The conformationally constrained BW A78U analog I was prepd. using SiCl4 in a new cyclodehydration procedure.
IT **167864-94-0P 167864-95-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of a conformationally constrained adenine deriv.)
RN 167864-94-0 CAPLUS
CN Benzenepentanoic acid, .delta.-[[6-(methylamino)-5-nitro-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



RN 167864-95-1 CAPLUS
CN Benzenepentanoic acid, .delta.-[[5-amino-6-(methylamino)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



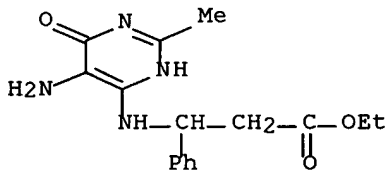
L7 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1986:207622 CAPLUS
DN 104:207622
TI Synthesis and antitumor activity of some N-2,5-dimethyloxazolo[5,4-d]pyrimidyl-7-amino acids
AU Melik-Ogandzhanyan, R. G.; Manukyan, A. G.; Mirzoyan, V. S.; Arsenyan, F. G.; Stepanyan, G. M.; Garibdzhanyan, B. T.
CS Inst. Tonkoi Org. Khim., Yerevan, USSR
SO Khimiko-Farmatsevticheskii Zhurnal (1985), 19(6), 685-9
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
OS CASREACT 104:207622
GI



I

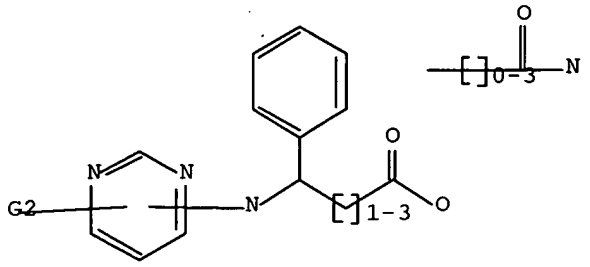
II

AB Oxazolopyrimidyl-substituted amino acids I (R = amino acid residue) (10 compds.) were prepd. by the substitution reaction of I (R = Cl) with amino acids at pH 9.5-10.5. Esterification of I (R = .beta.-phenyl-.beta.-alanine residue) with EtOH in the presence of HCl resulted in oxazole ring cleavage to give pyrimidine II.HCl. The title compds. were tested as antitumor agents in mice and rats; several compds. were active and only mildly toxic.
IT **102249-02-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)(prepn. of)
RN 102249-02-5 CAPLUS
CN Benzenepropanoic acid, .beta.-[(5-amino-1,6-dihydro-2-methyl-6-oxo-4-pyrimidinyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

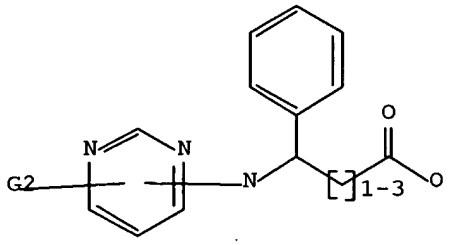
=> d l1; d l4; d his; log y
L1 HAS NO ANSWERS
L1 STR



G1
G2 Cy,Ak,O,N,X

Structure attributes must be viewed using STN Express query preparation.

L4 HAS NO ANSWERS
L4 STR



G1
G2 Cy,Ak,O,N,X

Structure attributes must be viewed using STN Express query preparation.

(FILE 'REGISTRY' ENTERED AT 14:42:31 ON 24 OCT 2003)
DEL HIS Y
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 0 S L1 FUL
L4 STRUCTURE UPLOADED
L5 2 S L4
L6 27 S L4 FUL

FILE 'CAPLUS' ENTERED AT 14:44:38 ON 24 OCT 2003
L7 6 S L6

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	27.63	324.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.91	-3.91

STN INTERNATIONAL LOGOFF AT 14:45:18 ON 24 OCT 2003